

18 19 20 21 22 26

1 2 3 4 5 6 7 8 9 12 13 14 15 16 17

7-26 13-26 16-18 18-19 18-20 21-22

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 12-13 12-17 13-14 14-15 15-16
16-17

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 7-26 8-9 12-13 12-17 13-14 13-26 14-15
15-16 16-17 16-18 18-19 18-20 21-22

containing 1 : 12 :

G2 : Cy, [*1]

26:2 M minimum RC ring/chain

```
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS
26:CLASS
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10/630278

=> s l6

SAMPLE SEARCH INITIATED 19:44:08 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 178 TO ITERATE

100.0% PROCESSED 178 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2760 TO 4360
PROJECTED ANSWERS: 0 TO 0

L7 0 SEA SSS SAM L6

=> s l6 sss full

FULL SEARCH INITIATED 19:44:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3272 TO ITERATE

100.0% PROCESSED 3272 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L8 2 SEA SSS FUL L6

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.84	331.60

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.39

FILE 'CAPLUS' ENTERED AT 19:44:37 ON 17 APR 2004
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FILE COVERS 1907 - 17 Apr 2004 VOL 140 ISS 17
FILE LAST UPDATED: 16 Apr 2004 (20040416/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l8

L9 2 L8

=> d l9 1-2 bib abs hitstr

10/630278

10/630278

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:832569 CAPLUS
DN 137:337880
TI Preparation of indole, azaindole, and related heterocyclic
piperazinecarboxamides for treatment of AIDS
IN Wang, Tao; Wallace, Owen B.; Meanwell, Nicholas A.; Zhang, Zhongxing;
Bender, John A.; Kadow, John F.; Yeung, Kap-Sun
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2002085301 A2 20021031 WO 2002-US12856 20020423
WO 2002085301 A3 20030227

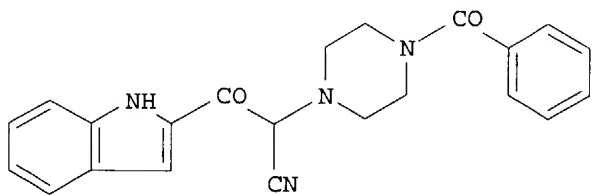
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

US 2003096825 A1 20030522 US 2002-127256 20020422
EP 1381366 A2 20040121 EP 2002-764315 20020423

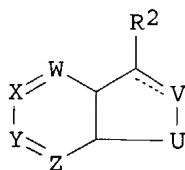
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI US 2001-286347P P 20010425
WO 2002-US12856 W 20020423

OS MARPAT 137:337880
GI



I



II

AB This invention provides indole, azaindole, and related heterocyclic piperazinecarboxamides $Q(C(O))_m(CR_8R_8')_n(C(O))_pTC(O)A$ (1; variables defined below; e.g. N-(benzoyl)-N'-[2-(indol-2-yl)-2-oxo-1-cyanoethyl]piperazine (shown as I)) having drug and bio-affecting properties, their pharmaceutical compns. and method of use. These compds. possess unique antiviral activity, whether used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry

inhibitors. More particularly, the present invention relates to the treatment of HIV and AIDS. EC50 ranges against HIV-1 are given for about 30 of the claimed compds.; for example, N-(benzoyl)-N'-[2-(6-methoxyindol-2-yl)-2-oxo-1-cyanoethyl]-3-methylpiperazine has an EC50 <1 μ M.

Although the methods of preparation are not claimed, 32 example prepns. of 1 and 6 example prepns. of intermediates are included. In 1: Q is shown as II (dotted line may be a bond); A is C1-6alkoxy, C1-6alkyl, C3-7cycloalkyl, Ph, and heteroaryl; T is piperazine-1,4-diyl; U is NR7, O, or S; V is C(H)kR1, O or N(R7)k; W is CR3 or NR10; X is CR4 or NR10; Y is CR5 or NR10; Z is CR6 or NR10; k is 0 or 1; m, n, and p are 0-2 provided that the sum of m, n, and p must equal 1 or 2; R8 and R8' are H, hydroxy, C1-6alkyl, C1-6alkoxy, cyano, and fluoro, or R8 and R8' taken together form :O, :S, :NOR9, or :NH; other variables and provisos are given in the claims.

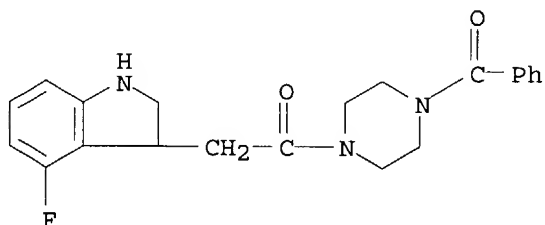
IT **474011-86-4P**, 1-(Benzoyl)-4-[(4-fluoroindolin-3-yl)acetyl]piperazine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indole, azaindole, and related heterocyclic piperazinecarboxamides for treatment of AIDS)

RN 474011-86-4 CAPLUS

CN Piperazine, 1-benzoyl-4-[(4-fluoro-2,3-dihydro-1H-indol-3-yl)acetyl]-
(9CI) (CA INDEX NAME)

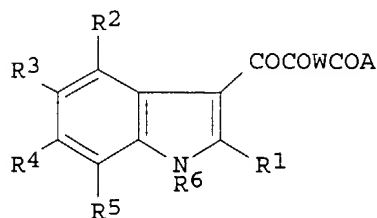


10/630278

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:51452 CAPLUS
DN 136:118470
TI Preparation of substituted indoleoxoacetylpiperazines with antiviral activity against HIV-1
IN Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce, Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

NP

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002004440	A1	20020117	WO 2001-US20300	20010626
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	EP 1299382	A1	20030409	EP 2001-946715	20010626
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004502768	T2	20040129	JP 2002-509305	20010626
PRAI	US 2000-217444P	P	20000710		
	US 2001-265978P	P	20010202		
	WO 2001-US20300	W	20010626		
OS	MARPAT 136:118470				
GI					



AB Indoleoxoacetyl piperazines I [A = (un)substituted alkoxy, aryl, heteroaryl; W = (un)substituted piperazino; R1 = H; R2-R5 = H, halogen, CN, NO2, (un)substituted NH2, OH, (un)substituted alkyl, cycloalkyl, alkoxy, CO2H, acyl, carbamoyl, amidino, aryl, heteroaryl, heterocyclic; R6 = H, alkyl] and their 2,3-dihydroindole analogs were prepared for use as virucides in the treatment of HIV and AIDS. Thus, 2-bromo-5-fluoronitrobenzene was cyclized with CH2:CHMgBr to give 4-fluoro-7-bromoindole, which was treated with ClCOCO2Et, followed by ester hydrolysis to give 4-fluoro-7-bromo-3-indoleglyoxylic acid. This acid was amidated with N-benzoylpiperazine and treated with PhSnBu3 to give I [A = R5 = Ph, W = piperazino, R1, R3, R4, R6 = H, R2 = F]. This compound gave >98% inhibition of HIV-1 infection in HeLa cells.

IT 389630-94-8P

10/630278

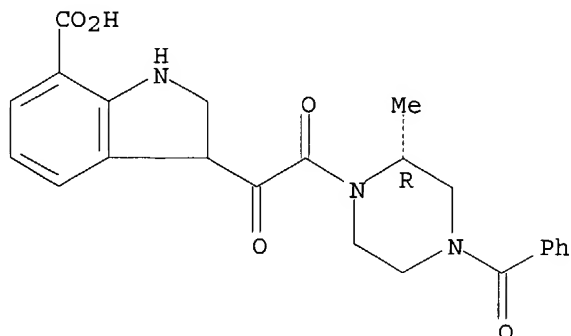
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of substituted indoleoxoacetyl piperazines with antiviral
activity against HIV-1)

RN 389630-94-8 CAPLUS

CN 1H-Indole-7-carboxylic acid, 3-[[[(2R)-4-benzoyl-2-methyl-1-
piperazinyl]oxoacetyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/630278

=> log h

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
13.02	344.62

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-1.39	-2.78

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STN INTERNATIONAL SESSION SUSPENDED AT 19:49:18 ON 17 APR 2004